(1) - 7, 9-10 (8 Cancelled)

(7)

(9) (10)

From Next wk Search core Comp.

Comp. & Meth. of Making

CLMPTO – LH

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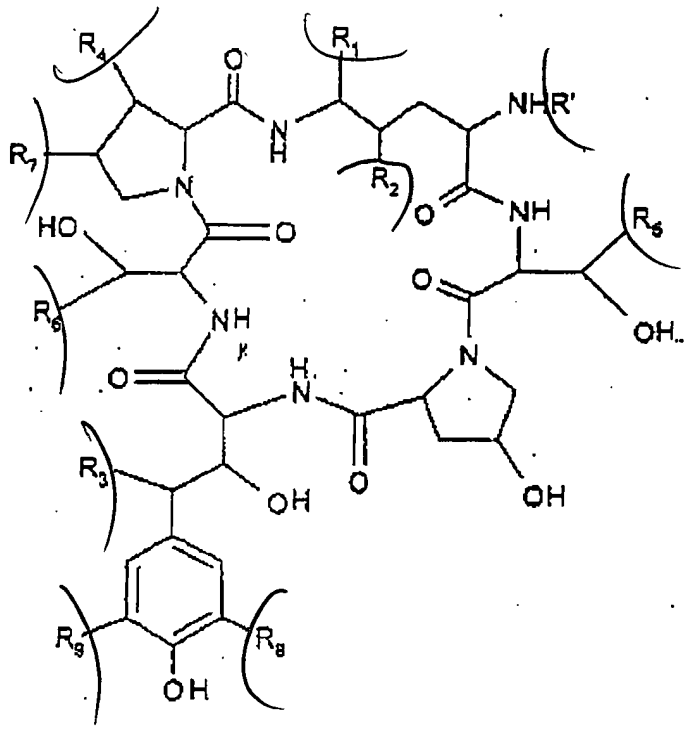
Antifungal & M of M

Claim 1 (amended) A compound selected from the group consisting of a cyclohexapeptide compound of the formula



I

wherein,

R' is selected from the group consisting of C_1-C_{20} alkyl; C_9-C_{20} alkenyl; C_9-C_{20} alkoxypheny, phenyl, biphenyl, terphenyl, and naphthyl; C_1-C_{12} alkylphenyl, C_8-C_{12} alkenylphenyl, C_1-C_{12} alkoxyphenyl; linoleoyl; palmitoyl; 12-methylmyristoyl; 10,12-dimethylmyristoyl; and $COC_6H_4(p)OC_8H_{17}$,

R_1 and R_3 are independently selected from the group consisting of -OH; -CN; $-CH_2NH_2$; $-N_3$; aryl; substituted aryl; heterocyclyl and substituted heterocyclic with 1-3 of heteroatoms; aminoalkylamino; mono or di-substituted linear or cyclic aminoalkylamino; -OR, wherein, R is C_1-C_{12} alkyl; substituted alkyl of $(CH_2)_n$-X, where n is 1-5 and X is selected from the group consisting of Cl, Br, I, COOY, CN, NH_2 and heterocyclic, Y is selected from the group consisting of C_1-C_6 alkyl; C_2-C_{12}-alkenyl; aryl; fused aryl; substituted aryl;

a heterocyclic containing 1-3 heteroatoms; mono or di-substituted aminoalkyl; and a hydroxy protecting group; and R_3 may additionally be imidazolyl;

R_2 and R_4 are independently -H or -OH;

R_5 is -H or $-CH_3$;

R_6 is selected from the group consisting of -H, $-CH_3$ and $-CH_2CONH_2$;

R_7 is selected from the group consisting of -H, $-CH_3$ and -OH;

R_8 and R_9 are independently -H or $-CH_2$-Sec.amine in which the sec.amine is attached to $-CH_2$ through its N linkage;
and its non-toxic pharmaceutically acceptable salts.

Claim 2 (amended) A compound of claim 1 wherein R_1 is -OH or OR, and R_3 is selected from the group consisting of -OH, -OR and imidazolyl wherein R in each case is selected from the group consisting of C_1-C_{12} alkyl, substituted alkyl of $-(CH_2)_n-X$, where n is 1-5, X is selected from the group consisting of Cl, Br, I, COOY, CN, NH_2 and a heterocyclic, and Y is selected from the group consisting of C_1-C_6 alkyl; $-C_2$-C_{12}-alkenyl; aryl; fused aryl; substituted aryl; a heteroaryl containing 1-3 heteroatoms; a heterocyclic containing 1-3 heteroatoms; a heterocyclic containing 1-3 heteroatoms; mono or di-substituted aminoalkyl; and a hydroxy protecting group.

Claim 3 (amended) A compound of claim 1 wherein R^1 is selected from the group consisting of linoleoyl, palmitoyl, 12-methylmyristoyl, 10, 12-dimethylmyristoyl and $-COC_6H_4(p)OC_8H_{17}$.

Claim 4 (amended) A compound of claim 1 wherein 1) ~~to~~ the nitrogen atom of the secondary amine are attached at least one member of the group consisting of C_1-C_{12} alkyl, C_2-C_{12} alkenyl, aryl, substituted aryl, alkylaryl and substituted alkylaryl, 2) or the nitrogen atom of the secondary amine is part of a heterocyclic group, optionally substituted by at least one member of the group consisting of C_1-C_6 alkyl, C_1-C_6 alkenyl, aryl, amino, nitro, and halogen, or 3) a fused heterocyclic group, whereby the heterocyclic group contains 1-3 heteroatoms.

Claim 5 (amended) A compound of claim 1 wherein the secondary amine is selected from the group consisting of piperidine, pyrrolidine, 4-methylpiperidine, morpholine, dimethylamine, diisopropylamine, 4-piperidino-piperidine, piperazine, 1-methylpiperazine, 1-(2-fluorophenyl)piperazine, 1-(2-chlorophenyl)piperazine, 1-(2-pyrimidyl)piperazine, 1-(4-fluorophenyl)piperazine, N-(α,α,α-trifluoro-m-tolyl)piperazine, 1-phenylpiperazine, 1-benzylpiperazine, 1-(2-pyridyl)piperazine, 1-(4-pyridyl)piperazine, 1-(4-methylphenyl)piperazine, 1-(2,6-dimethylphenyl)piperazine, 1-(1-phenylethyl)piperazine, dibenzylamine, N-(tertbutyl)benzylamine and N-(isopropyl)-benzylamine.

Claim 6 (amended) A compound of claim 1, wherein R^1 is 12-methylmyristoyl, R_1 and R_3 are independently selected from the group consisting of -OH, -CN, $-CH_2NH_2$, $-N_3$, aryl, substituted aryl, heterocyclyl and substituted heterocyclyl having 1-3 heteroatoms, aminoalkylamino, and mono or di-substituted linear or cyclic aminoalkylamino, R_5 and R_7 are both $-CH_3$, R_6 is -H, and R_8 and R_9 are both -H.

Claim 7 (amended) An antifungal composition comprising a fungicidally effective amount of a compound of claim 1, and a non-toxic pharmaceutically acceptable carrier.

Claim 8 cancelled.

Claim 9 (amended) A process for the production of a compound of ~~claim~~ 1 comprising:

a) reacting a cyclohexapeptide compound of claim 1, wherein R^1, R_2, R_4, R_5, R_6 and R_7 are as defined in claim 1, R_1 and R_3 are both -OH, and R_8 and R_9 are -H, with an alcohol in the presence of an acid in an aprotic solvent at a temperature of 0°C to 60° to obtain the corresponding cyclohexapeptide derivative of claim 1 wherein R^1, R_2, R_4, R_5, R_6 and R_7 are as defined in claim 1, R_1 and R_3 are

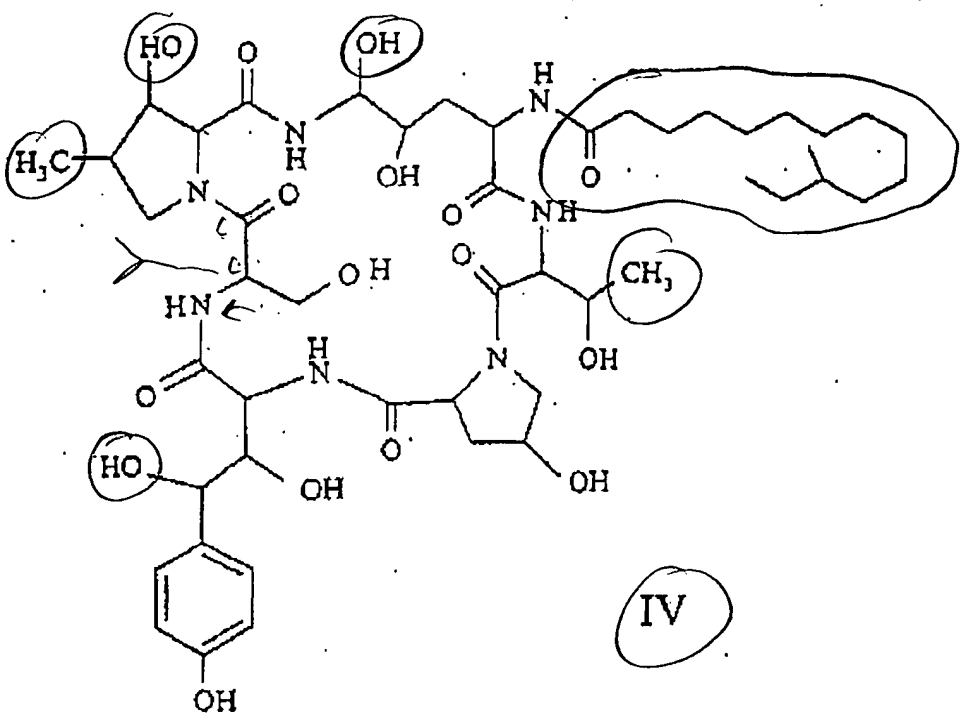
independently -Oh or -OR wherein at least one of R_1 or R_3 is -OR, R is selected from the group consisting of C_1-C_{12} alkyl, C_2-C_{12} alkyl, C_2-C_{12} alkenyl, fused aryl, substituted aryl, a heterocyclyl containing 1-3 heteroatoms, mono or di-substituted aminoalkyl, and a hydroxy protecting group, and R_8 and R_9 are -H;

b) reacting the compound of step (a) with a secondary amine in the presence of paraformaldehyde in an aprotic solvent at a temperature of 60°C to 150°C to obtain the desired compound of formula I, isolating and purifying the resulting compound from the reaction mixture in a known manner and optionally converting the compound of formula I into its pharmaceutically acceptable salt in a known manner.

IV

Claim 10 (amended) A process for the preparation of a cyclohexapeptide compound of claim 1 comprising:

a) reacting mulundocandin of the formula



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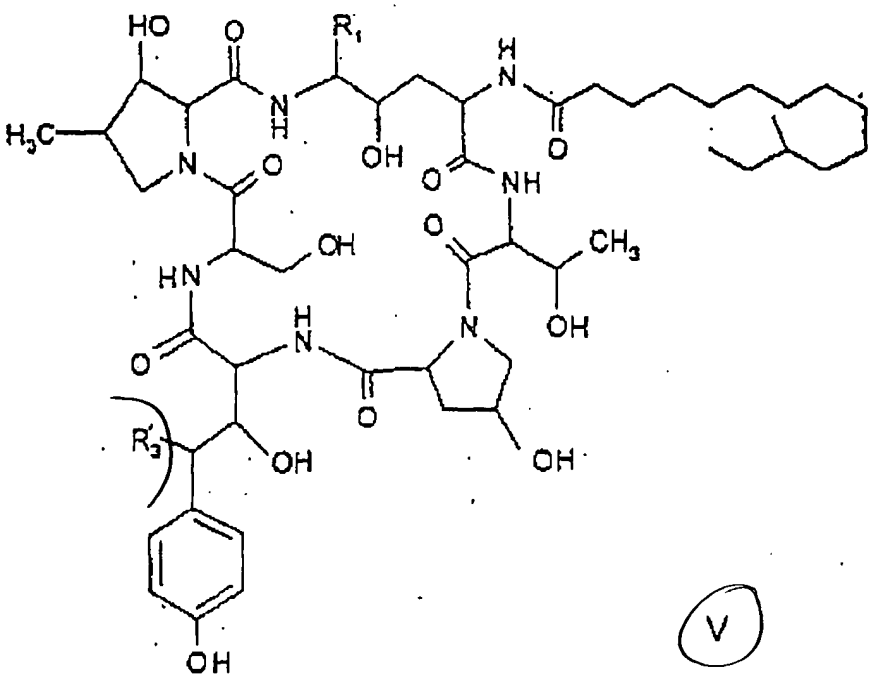
IV

with a nucleophile in the presence of an acid in an aprotic solvent at a temperature of 0°C to 60°C to obtain the corresponding cyclohexapeptide derivative of the formula



(V)

wherein R_1 and R_3 are -OH or -SR with at least one of R_1 or R_3 is -SR, R is selected from the group consisting of C_1-C_{12} alkyl, substituted alkyl of $-(CH_2)_n-X$, wherein n is 1-5 and X is Cl, Br, I, COOY, CN, NH_2, and a heterocyclic, Y is selected from the group consisting of C_1-C_6 alkyl; C_2-C_{12} alkenyl; aryl; fused aryl; substituted aryl; a heterocyclyl containing 1-3 heteroatoms; mono or di-substituted aminoalkyl; and a hydroxy protecting group;

b) reacting the compound of step (a) with an oxidizing agent in an aqueous medium at a temperature of 20°C to 60°C to obtain the corresponding sulfones of formula V wherein R_1 and R_3 are -OH or $-S(O_2)R$, with at least one of R_1 or R_3 is $-SO_2R$, R is selected from the group consisting of C_1-C_{12} alkyl, substituted alkyl of $-(CH_2)_n-X$, wherein n is 1-5 and X is selected from the group consisting of Cl, Br, I, COOY, CN, NH_2 and a heterocyclic, Y is selected from the group consisting of C_1-C_6 alkyl; C_1-C_{12} alkenyl; aryl; fused aryl; substituted aryl; a heterocyclyl containing 1-3 heteroatoms; mono or di-substituted aminoalkyl; and a hydroxy protecting group;

c) reacting the sulfone of step (b) with a nucleophile in a solvent at a temperature of 20°C to 60°C to obtain the desired compound of claim 1, isolating and purifying the resulting compound and optionally converting the compound of claim 1 into its pharmaceutically acceptable salt in a known manner.